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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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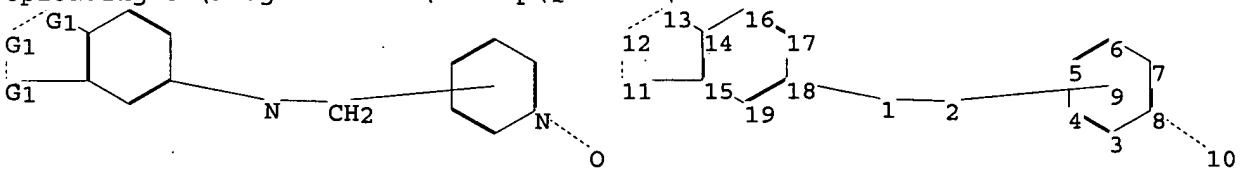


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ring nodes :
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chain bonds :
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ring bonds :
3-4  3-8  4-5  5-6  6-7  7-8  11-12  11-15  12-13  13-14  14-15  14-16  15-19
16-17  17-18  18-19
exact/norm bonds :
1-2  1-18  8-10  11-12  11-15  12-13  13-14
normalized bonds :
3-4  3-8  4-5  5-6  6-7  7-8  14-15  14-16  15-19  16-17  17-18  18-19


G1:C,O,N

Match level :
1:CLASS  2:CLASS  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:CLASS  10:CLASS
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L1                STR
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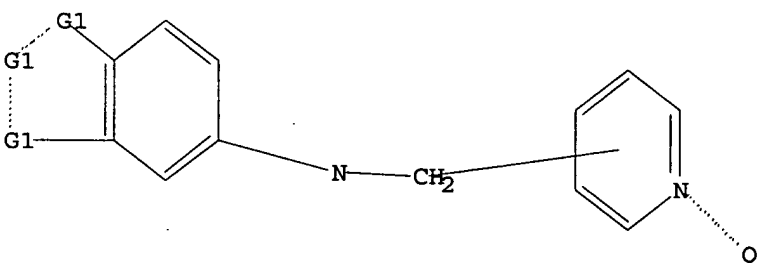


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G1 C,O,N
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Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3              3 SEA SSS FUL L1

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L3   ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   699004-52-9  REGISTRY
ED   Entered STN:  25 Jun 2004
CN   Benzoic acid, 3-[(1-cyclopentyl-3-ethyl-1H-indazol-6-yl)[(1-oxido-3-
     pyridinyl)methyl]amino]- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   1-Cyclopentyl-3-ethyl-6-[N-(3-carboxyphenyl)-N-[(1-oxo-3-
     pyridyl)methyl]amino]indazole
FS   3D CONCORD
MF   C27 H28 N4 O3
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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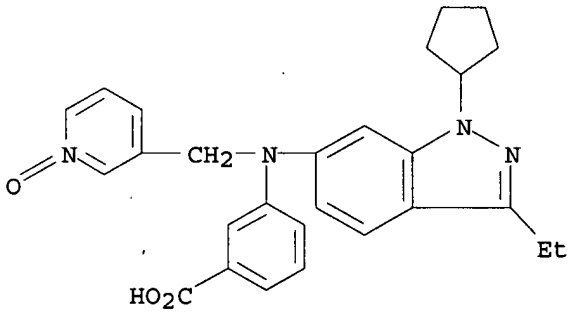


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               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3   ANSWER 2 OF 3  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   388598-62-7  REGISTRY
ED   Entered STN:  31 Jan 2002
CN   1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[(1-oxido-3-
     pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI)  (CA
     INDEX NAME)
FS   3D CONCORD
MF   C28 H20 F2 N4 O3
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LC   STN Files:   CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
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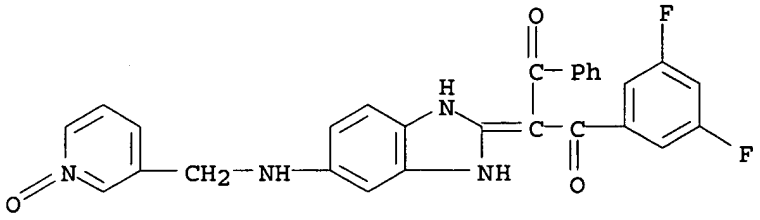
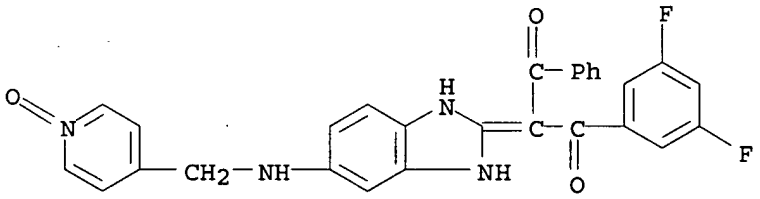


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
RN 388596-62-1 REGISTRY
ED Entered STN: 31 Jan 2002
CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[(1-oxido-4-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H20 F2 N4 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L4 2 L3

=> dis l4 1-2 bib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:453188 CAPLUS
DN 141:23427
TI Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors
IN Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim, Ashok
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 2004046113	A2	20040603	WO 2003-US36986	20031119
	WO 2004046113	A3	20050324		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506297	AA	20040603	CA 2003-2506297	20031119
	US 2004152902	A1	20040805	US 2003-715819	20031119
	BR 2003015705	A	20050906	BR 2003-15705	20031119
	EP 1569908	A2	20050907	EP 2003-786857	20031119
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-427221P	P	20021119		
	WO 2003-US36986	W	20031119		

OS MARPAT 141:23427
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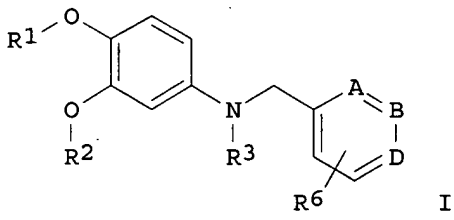

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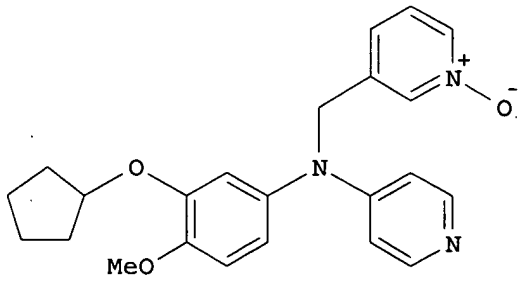


II

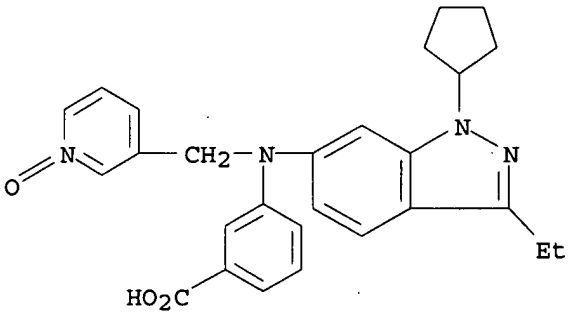
AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine

N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

IT **699004-52-9P**, 1-Cyclopentyl-3-ethyl-6-[N-(3-carboxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]indazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

RN 699004-52-9 CAPLUS

CN Benzoic acid, 3-[(1-cyclopentyl-3-ethyl-1H-indazol-6-yl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:31423 CAPLUS

DN 136:102388

TI Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists

IN Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira; Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002533	A1	20020110	WO 2001-JP5813	20010704
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2415010	AA	20020110	CA 2001-2415010	20010704
	AU 2001071022	A5	20020114	AU 2001-71022	20010704
	EP 1300398	A1	20030409	EP 2001-949914	20010704
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003191164	A1	20031009	US 2002-311688	20021219
	US 6960591	B2	20051101		

PRAI JP 2000-204425 A 20000705
JP 2001-153372 A 20010523
WO 2001-JP5813 W 20010704
OS MARPAT 136:102388
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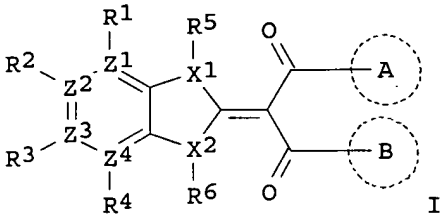


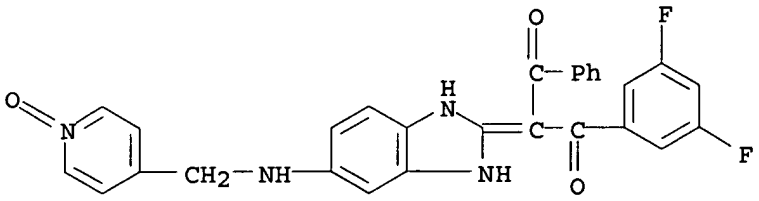
I

AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1 , R2, R3, R4 = H,NO2, cyano, halo, (un)substituted hydrocarbyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)n, H-S(O)n (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbyl or NH2; X1, X2 = N, S, O; A, B = (un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus, K2CO3 and NaI were successively added to a son. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (preparation given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80° for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC50 of 10-10 to 10-9 M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzoimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equivalent to that of the peptide GnRH antagonist cetrorelix.

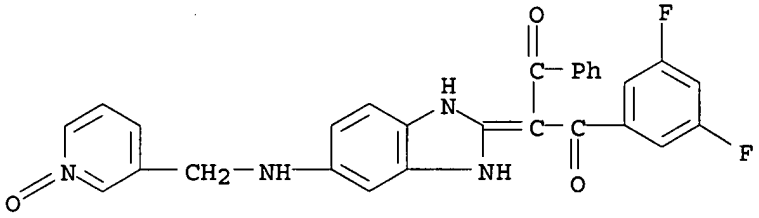
IT **388596-62-1P 388598-62-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

RN 388596-62-1 CAPLUS

CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[(1-oxido-4-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)



RN 388598-62-7 CAPLUS
CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[(1-oxido-3-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST	10.33	177.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

STN INTERNATIONAL LOGOFF AT 10:57:49 ON 01 DEC 2005

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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * *

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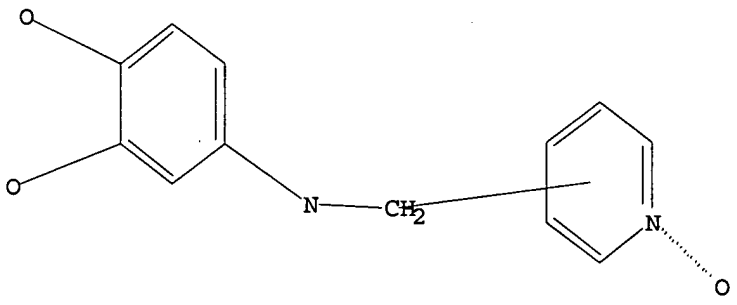


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Structure attributes must be viewed using STN Express query preparation.


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=> s l3
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=> dis l4 bib abs

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:453188  CAPLUS
DN   141:23427
TI   Preparation of N-oxides of heteroarylmethyl phenyl amines as
     phosphodiesterase 4 inhibitors
IN   Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim,
     Ashok
PA   Memory Pharmaceuticals Corporation, USA
SO   PCT Int. Appl., 93 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2004046113        A2    20040603    WO 2003-US36986         20031119
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 2004152902	A1	20040805	US 2003-715819		20031119
BR 2003015705	A	20050906	BR 2003-15705		20031119
EP 1569908	A2	20050907	EP 2003-786857		20031119

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PRAI US 2002-427221P P 20021119
WO 2003-US36986 W 20031119

OS MARPAT 141:23427

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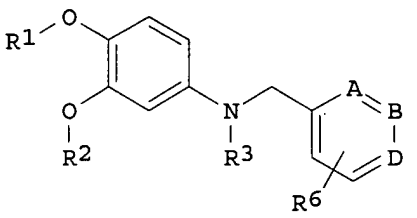

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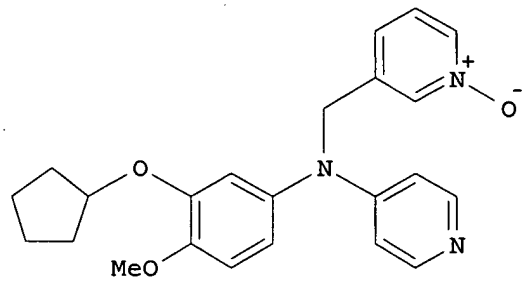

II

AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

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